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PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

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Web Page URLs for STN Seminar Schedule - N. America
NEWS 1
                "Ask CAS" for self-help around the clock
NEWS
        FEB 27 New STN AnaVist pricing effective March 1, 2006
NEWS
        APR 04 STN AnaVist $500 visualization usage credit offered
NEWS 4
        MAY 10 CA/CAplus enhanced with 1900-1906 U.S. patent records
NEWS 5
        MAY 11 KOREAPAT updates resume
NEWS
        MAY 19 Derwent World Patents Index to be reloaded and enhanced
     7
NEWS
NEWS 8 MAY 30 IPC 8 Rolled-up Core codes added to CA/CAplus and
                USPATFULL/USPAT2
NEWS 9 MAY 30
                The F-Term thesaurus is now available in CA/CAplus
        JUN 02 The first reclassification of IPC codes now complete in
NEWS 10
                 INPADOC
                TULSA/TULSA2 reloaded and enhanced with new search and
NEWS 11 JUN 26
                 and display fields
                Price changes in full-text patent databases EPFULL and PCTFULL
NEWS 12
        JUN 28
NEWS 13
        JU1 07
                Coverage of Research Disclosure reinstated in DWPI
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NEWS EXPRESS JUNE 30 CURRENT WINDOWS VERSION IS V8.01b, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 26 JUNE 2006.

NEWS HOURS STN Operating Hours Plus Help Desk Availability
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NEWS X25 X.25 communication option no longer available

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FILE 'HOME' ENTERED AT 15:41:14 ON 10 JUL 2006

=> file reg
COST IN U.S. DOLLARS
SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST
0.21
0.21

FILE 'REGISTRY' ENTERED AT 15:41:23 ON 10 JUL 2006

5 .

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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 9 JUL 2006 HIGHEST RN 891170-23-3 DICTIONARY FILE UPDATES: 9 JUL 2006 HIGHEST RN 891170-23-3

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

Uploading C:\Program Files\Stnexp\Queries\10750326.str

chain nodes :

11 12 13 14 15 18 19 20 21 22

ring nodes :

1 2 3 4 5 6 7 8 9 10

chain bonds :

7-11 8-13 9-12 10-18 13-14 14-15 18-19 20-21 20-22

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10

exact/norm bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 7-11 8-9 8-13 9-10 9-12 10-18

18-19 20-21 20-22

exact bonds :

13-14 14-15

÷.

G1:OH, COOH, NH2, Cb, [*1]

Match level:

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 18:CLASS 19:CLASS 20:CLASS 21:CLASS

L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1 STR

G1 OH, COOH, NH2, Cb, [@1]

Structure attributes must be viewed using STN Express query preparation.

4 ANSWERS

=> s l1 sample

SAMPLE SEARCH INITIATED 15:41:50 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 182 TO ITERATE

100.0% PROCESSED 182 ITERATIONS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 2831 TO 4449 PROJECTED ANSWERS: 4 TO 200

L2 4 SEA SSS SAM L1

=> d scan 12

L2 4 ANSWERS REGISTRY COPYRIGHT 2006 ACS on STN
3(2H)-Quinazolineacetic acid, 1-[(4-bromo-2-fluorophenyl)methyl]-1,4dihydro-6,7-dimethoxy-2,4-dioxo- (9CI)
MF C19 H16 Br F N2 O6

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> s 11 ful

FULL SEARCH INITIATED 15:42:12 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 4349 TO ITERATE

100.0% PROCESSED 4349 ITERATIONS 122 ANSWERS

SEARCH TIME: 00.00.01

L3122 SEA SSS FUL L1

=> file hcaplus

COST IN U.S. DOLLARS SINCE FILE TOTAL SESSION ENTRY 167.38 167.59

FULL ESTIMATED COST

FILE 'HCAPLUS' ENTERED AT 15:42:19 ON 10 JUL 2006 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)

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FILE COVERS 1907 - 10 Jul 2006 VOL 145 ISS 3 FILE LAST UPDATED: 9 Jul 2006 (20060709/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 13

L414 L3

=> d his

(FILE 'HOME' ENTERED AT 15:41:14 ON 10 JUL 2006)

FILE 'REGISTRY' ENTERED AT 15:41:23 ON 10 JUL 2006

STRUCTURE UPLOADED L1

L24 S L1 SAMPLE

122 S L1 FUL L3

FILE 'HCAPLUS' ENTERED AT 15:42:19 ON 10 JUL 2006 L414 S L3

=> d l4 1- ibib abs hitstr YOU HAVE REQUESTED DATA FROM 14 ANSWERS - CONTINUE? Y/(N):y d

١.

L4 ANSWER 1 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:566933 HCAPLUS
DOCUMENT NUMBER: 141:270985
TITLE: Three-Dimensional Quantitative Structure-Activity
Relationship Analysis of a Set of Plasmodium
falciparum Dihydrofolate Reductase Inhibitors Using a
Pharmacophore Generation Approach
Marias Rastelli, Giulio
CORPORATE SOURCE: Bipartimento di Scinico
Dipartimento di Scinico
SUURCE: Journal of Medicinal Chemistry (2004), 47(17),
4238-4267
CODEN: JMCHARR ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: Analysis of Plasmodium falciparum dihydrofolate
reductase (FIPMFR), a validated target for antimalarial therapy. The data
set included 52 inhibitors, with 23 of these comprising the training set
and 29 an external test set. The activity range, expressed as Ki, of the
training set mols. was from 0.3 to 11 300 nM. The 3D pharmacophore,
generated with the HypoGen module of Catalyst 4.7, consisted of two
hydrogen bond donors, one pos. ionizable feature, one hydrophobic aliphatic
feature, and one hydrophobic aromatic feature and provided a 3D-QSAR model
with a correlation coefficient of 0.954. Importantly, the type and spatial
location of the chemical features encoded in the pharmacophore were in full
agreement with the key binding interactions of PfDHFR inhibitors as
previously established by mol. modeling and crystallog, of
enzyme-inhibitor complexes. The model was validated using several
techniques, namely, Fisher's randomization test using CatScramble,
leave-one-out test to ensure that the QSAR model is not strictly dependent
on one particular compound of the training set, and activity prediction in
an external test set of compds. In addition, the pharmacophore was able to
correctly classify as active and inactive the dihydrofolate reductase and
aldose reductase inhibitors extracted from the MDDR database, resp. This

aldose reductase inhibitors extracted from the MDDR database, resp. This
was performed to challenge the predictive ability of the pharmacophore
with two classes of inhibitors that target very different binding sites.
MOL diversity of the data sets was finally estimated by the Tanimoto
approach. The results obtained provide confidence for the utility of the
pharmacophore in the virtual screening of libraries and databases of
compds. to discover novel PfOHFR inhibitors.
133166-46-8 133166-55-9 133166-60-6
136148-02-2 180632-11-5 180632-13-7
180632-19-3 180632-21-7
RL: PAC (Pharmacological activity); TRU (Therapeutic use); BIOL
(Biological study); USES (Uses)
(OSAN of Plasmadium falciparum dihydrofolate reductase inhibitors using
pharmacophore generation approach)
133166-46-8 HCAPLUS
3(2H)-Quinazolineacetic acid, 6-bromo-1-[(4-bromo-2-fluorophenyl)methyl]1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)

ANSWER 1 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN

136148-02-2 HCAPLUS 3(ZH)-Quinazolineacetic acid, 1-[(3,4-dichlorophenyl)methyl]-1,4-dihydro-2,4-dioxo-(9C1) (CA INDEX NAME)

180632-11-5 HCAPIUS
3(2H)-Quinazolineacetic acid, 1-{[4-{(2,4-dioxo-5-thiazolidinyl)methyl]phenyl|methyl]-1,4-dihydro-2,4-dioxo- (9CI) (CA

ANSWER 1 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

133166-55-9 HCAPLUS

3(2H)-Quinazolineacetic acid, 1-[(4-bromo-2-fluorophenyl)methyl]-1,4-dihydro-6-iodo-2,4-dioxo- (9CI) (CA INDEX NAME)

133166-60-6 HCAPLUS
3(2H)-Quinazolineacetic acid, 1-[(3,4-dichlorophenyl)methyl]-1,4-dihydro-6-methyl-2,4-dioxo- (9CI) (CA INDEX NAME)

ANSWER 1 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

180632-13-7 HCAPLUS
3(2H)-Quinazolineacetic acid, 1-[[3-((2,4-dioxo-5-thiazolidiny1)methy1]pheny1]methy1]-1,4-dihydro-2,4-dioxo-(9CI) (CA INDEX NAME)

180632-19-3 HCAPLUS
3(2H)-Quinazolineacetic acid, 1-[[4-[[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenyl]mulfonyl]mulfonyl]mulfonyl]methyl]-1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)

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L4 ANSWER 1 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN

(Continued)

PAGE 2-A

180632-21-7 HCAPLUS
3(2H)-Quinazolineacetic acid, 1-[[3-[[4-[(2,4-dioxo-5-thiazolidiny)]methyl]phenyl]sulfonyl]amino]phenyl]methyl]-1,4-dihydro-2,4-dioxo- (9C1) (CA INDEX NAME)

L4 ANSWER 2 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:
DOCUMENT NUMBER:
110:417994
Remedies for vertebral canal stenosis
Takenobu, Yoshifumir Kamanaka, Yoshihisa; Obata,
Takakir Itou, Hidenori
Ono Pharmaceutical Co., Ltd., Japan
PCT Int. Appl., 58 pp.
DOCUMENT TYPE:
PATENT AND COEM: PICKIZ
PATENT AND C

Japanese 1

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE				
WO 2004043491	A1	20040527	WO 2003-JP14454	20031113				
W: AE, AG,	AL. AM. AT	, AU, AZ,	BA, BB, BG, BR, BW,	BY, BZ, CA, CH,				
CN, CO,	CR, CU, CZ	, DE, DK,	DM, DZ, EC, EE, EG, 1	ES, FI, GB, GD,				
GE, GH,	GM, HR, HU	, ID, IL,	IN, IS, JP, KE, KG,	KR, K2, LC, LK,				
LR, LS,	LT, LU, LV	, MA, MD,	MG, MK, MN, MW, MX, I	MZ, NI, NO, NZ,				
OM, PG,	PH, PL, PT	, RO, RU,	SC, SD, SE, SG, SK,	SL, SY, TJ, TM,				
			UZ, VC, VN, YU, ZA,					
RW: BW, GH,	GM, KE, LS	, MW, MZ,	SD, SL, SZ, TZ, UG,	ZM, ZW, AM, AZ,				
BY, KG,	KZ, MD, RU	, TJ, TM,	AT, BE, BG, CH, CY,	CZ, DE, DK, EE,				
ES, FI,	FR, GB, GR	, HU, IE,	IT, LU, MC, NL, PT,	RO, SE, SI, SK,				
TR, BF,	BJ, CF, CG	, CI, CM,	GA, GN, GQ, GW, ML,	MR, NE, SN, TD, TG				
AU 2003280767	A1	20040603	AU 2003-280767	20031113				
US 2006058310	A1	20060316	US 2005-534051	20050505				
PRIORITY APPLN. INFO	1.:		JP 2002-330425	A 20021114				
			WO 2003-JP14454	w 20031113				
OTHER SOURCE (S).	MADDAT	140-41798	4					

R SOURCE(S): MARPAT 140:417984
A preventive and/or a remedy for vertebral canal stenosis contains an aldose reductase inhibitory compound, such as 5-(2-propenylidene)-4-oxo-2-thioxo-3-thizolidineacetic acid derivative The above remedy is efficacious

preventing and/or treating vertebral canal stenosis, such as lumbar vertebral canal stenosis. Administration of epalrestat, AS-3201, and fidarestat improved walking dysfunction in the rat spinal stenosis model. Tablets were formulated containing epalrestat 50, Ca CMC 2, Mg stearate 1,

and

IT

microcryst. cellulose 47 mg per tablet.
136148-02-2
RL: PAC (Pharmacological activity), THU (Therapeutic use), BIOL
(Biological study), USES (Uses)
(aldose reductase inhibitors as remedies for vertebral canal stenosis symptoms)
136148-02-2 HCAFBUS
3(ZH)-Quinazolineacetic acid, 1-{(3,4-dichlorophenyl)methyl}-1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

REFERENCE COUNT:

THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 2 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

REFERENCE COUNT:

THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:502764 HCAPLUS
137:63251
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DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

- US 6413724 B1 20020702 US 1997-795189 19970204
US 6025371 A 20000215 US 1996-740103 19961028
WO 9818781 A2 19980507 WO 1997-US19483 19971027
W: AL, AM, AT, AU, AZ, BA, BB, BB, GB, BY, CA, CH, CX, CZ, DE, DY, CE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, IT, LU, LV, MD, MG, MK, MN, MW, MX, NO, XZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CT, CM, GA, GN, ML, MR, NE, NN, TD, TG
AU 9869083 A1 19980522 AU 1998-69083 19971027

AU 1998-69083 US 1996-740103 US 1997-795189 US 1997-816120 WO 1997-US19483 19971027 A2 19961028 A 19970204 A2 19970311 W 19971027

OTHER SOURCE(S): MARPAT 137:63251

AB A combinatorial library comprising PR [P = quinazolinedionyl, pyrimidopyrimidinedionyl, pyrimidopyrimidinedionyl, pyrimidopyrimidinedionyl, pyrimidopyrimidinedionyl, peridinedionyl, peridinedionyl, peridinedionyl, peridinedionyl, R = (CH2) marRAY(CH2) nCHRY OCCERS (CH2) pxQ, (CH2) mCHRY (CH2) nXQ, etc., R7, R8, R9 = H, alkyl, aryl, O, amino, S1, S10, O, CON, amino acid sidechain Y = alkyl, aryl, O, amino, S1, S10, O, CON, amino CONNO, C

above deprotected H-Ala-Sasrin, and the mixture shaken for 1.5 h. The Fmoc-Phe-Ala-Sasrin resin thus obtained was deprotected by agitation with 20% piperidine in DMF at r.t. and dried under vacuum; 2-MeoCGHANCO in pyridine/DMF was added to the deprotected dipeptide amine resin and the mixture agitated for 1 h. The resultant urea resin was filtered, dried, and cyclized by stirring at 60° with 5% tetramethylguandiane or 5% 1,8-diazabicyclo[5.4.0]undec-7-ene in N-methylpyrrolidine-2-one for 21 h. The resultant quinazolinedione resin was cleaved with 3% CF3CO2H in CH2Cl2 to give 3-f(5)-1-benzyl-1-(5)-2-carbonylaminopropionic acid]methyl-2,4-(1H,3H)-quinazolinedione.
188789-64-2P 188789-55-3P 207346-35-8P 207346-35-8P 207346-39-2P RL: SPN (Synthetic preparation); PREP (Preparation)

RL: SPN (Synthetic preparation); PREP (Preparation)

ANSWER 3 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

207346-37-0 HCAPLUS
3(2H)-Quinazolineacetic acid, 1,4-dihydro-1-(2-naphthalenylmethyl)-2,4-dioxo-a-(phenylmethyl)-, (eS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

207346-39-2 HCAPLUS 3(2H)-Quinazolineacetic acid, $1-[(2-cyanopheny1)methy1]-1,4-dihydro-2,4-dioxo-<math>\alpha$ -(phenylmethy1)-, (α S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

63

REFERENCE COUNT:

THERE ARE 63 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 3 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
(solid phase and combinatorial library syntheses of fused
2,4-pyrimidinediones)
188789-64-2 HCAPLUS
3(ZH)-Quinazolineacetic acid, 1,4-dihydro-1-[(4-methoxyphenyl)methyl]-2,4-dioxo-a-(phenylmethyl)-, (aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

(ZH)-Quinazolineacetic acid, 1-(2-amino-2-oxoethyl)-1,4-dihydro-2,4-dioxo--(phenylmethyl)-, (qS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

207346-35-8 HCAPLUS

3(2H)-Quinazolineacetic acid, 1,4-dihydro-2,4-dioxo-α,1-bis(phenylmethyl)-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 4 OF 14 HCAPLUS COPYRIGHT 2006 ACS ON STN ACCESSION NUMBER: 1999:7976 HCAPLUS DOCUMENT NUMBER: 130:52432

TITLE:

Method for producing quinazolinediones and quinazolinedione libraries in solid phase Puhl, Michael: Adida, Serge: Klinge, Dagmar: Kling, INVENTOR(S):

Andreas BASF A.-G., Germany PCT Int. Appl., 45 pp. CODEN: PIXXD2 PATENT ASSIGNEE(S):

DOCUMENT TYPE: Patent

COUNT:

FAMILY ACC. NUM. CO PATENT INFORMATION:

PATENT NO.					KIN	D	DATE		- 1	APPI	ICAT	DATE 19980529					
wo.	WO 9856770				A1 199812			1217	,	wo 1	998-						
••		AL,	ΑU,	BG,	BR,	BY,	CA,	CN,	CŻ,	GE,	HU, SK,	ID,	IL,	JP,	KR,	ΚZ,	LT,
	RW:							ES,	FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,
	1972	4983			A1		1998				997-					9970 9980	
	2294 9881				AA A1		1998 1998				.998- .998-				ī	9980	529
EP	9882		BF	CH	A1		2000				998- NL,				1	9980	529
	2002	5071			T2		2002	0305		JP 1	999-	5014	55	• •		9980	
ZA RIORIT	9805 Y APP		INFO		A		1999	1213		DE 1	1998 - 1997 - 1998 -	1972	4983		A Î	9980 9970 9980	613

OTHER SOURCE(S): MARPAT 130:52432

Quinazoline diones I [P = solid-phase support; A = 0, NH; R1, R2 = H, (un) substituted alkyl, cycloalkyl, aryl, heteroaryl; R1R2 = 3-8-membered ring; R3, R4 = H, alkyl, alkenyl, alkynyl, aryl, heteroaryl, halogen, (un) substituted NH2, OH, SH, COSH, CONH2, NOZ, CN; R3R4 = atoms required to form an aromatic or heteroarom. ring; R5 = (un) substituted alkyl,

aralkyl,

heteroaralkyl; m, n = 0-6] were prepared by treating a polymer-bound amino
acid HZN(CH2)mCR1R2(CH2)mCOAP with a 2-aminobenzoic acid or isatoic
anhydride to give the amide, treating this with a heterocyclic carbonyl
compound, and alkylating. The process is suitable for producing libraries
of I for high-throughput screening.

II 217457-83-5P

RL: SPN (Synthetic preparation); PREP (Preparation)

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ANSWER 4 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued) (prepn. of quinazolinediones and quinazolinedione libraries in solid phase) 217457-83-5 HCAPLUS 3(ZH)-Quinazolineacetic acid, 1,4-dihydro-e-(2-methylpropyl)-2,4-dioxo-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

CH2-Ph

REFERENCE COUNT:

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 5 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 14 HCAPLUS COPYRIGHT 2006 ACS ON STN ACCESSION NUMBER: 1998:543060 HCAPLUS DOCUMENT NUMBER: 129:161566 129:161566
Solid phase and combinatorial library syntheses of 3,1-benzowazin-4-ones.
Gordeev, Mikhail, Patel, Dinesh Versicor, Inc., USA
PCT Int. Appl., 38 pp.
CODEN: PIXXD2
Patent
English
1 TITLE: INVENTOR (S) PATENT ASSIGNEE(S): SOURCE: DOCUMENT TYPE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO. DATE

WO 9833783 A1 19980806 WO 1998-U52064 19980204

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DF, EZ, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KF, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SS, LS, IJ, TH, TI, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TC

AU 986268 A1 19980825 AU 1998-62648 19980204

PRIORITY APPLN. INFO: WO 1998-U52064 W 19980204

AB A combinatorial library containing derivs. of 3,1-benzoxazine-4-ones from those libraries. Thus, FMCC-protected sarcosine on Tentagel resin was deprotected with piperidine in DMF followed by agitation with 2-(p-nitropheny)|carbamoyl-4,5-difluorobenzoate in pyridine/DMF. The product was agitated with N-methylpiperazine in N-methylpiperazine of 1 (4-methyl) piperazino-3, 1-benzoxazin-4-one.

RL: SPN (Synthetic preparation); PREF (Preparation) (solid phase and combinatorial) PATENT NO. KIND DATE APPLICATION NO. DATE 20/36-33-8F RL: SPN (Synthetic preparation); PREP (Preparation) (solid phase and combinatorial library syntheses of 3,1-benzoxazin-4-ones) 207346-35-8 KCAPLUS

3(2H)-Quinazolineacetic acid, 1,4-dihydro-2,4-dioxo- α ,1-bis(phenylmethyl)-, (α S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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L4 ANSWER 6 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN ACCESSION NUMBER: 1998:293492 HCAPLUS DOCUMENT NUMBER: 129:4654
                                                          129:4654
Preparation of fused 2,4-pyrimidinedione combinatorial libraries having antimicrobial and β-lactamase activity.
Gordeev, Mikhail, Patel, Dinesh Versicor, Inc., USA
PCT Int. Appl., 71 pp.
CODEN: PIXXD2
Patent
TITLE:
INVENTOR(S):
PATENT ASSIGNEE(S):
SOURCE:
DOCUMENT TYPE:
LANGUAGE:
                                                           Patent
English
2
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
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PA	PATENT NO. WO 9818781				KIND DATE				1	APPI	ICAT		DATE				
WO.				12		-	19980507			10 1	997-1	US19	19971027				
•••	W:	AL,	AH,	λT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CZ,	DE,	DK,
											KE, MW,						
		RU,	SD,	SE,	SG,	SI,	SK,	SL,	TJ,	TM,	TR,	TT,					
	RW:										TJ, BE,		DE.	DK.	ES.	FI.	FR.
		GB,	GR,	IE,	IT,	LU,	MC,	NL,			BF,						
US	6025		ML,	MR,	NE,		TD, 2000		,	US I	996-	7401	03		1	9961	028
US	6413	724			B1		2002				997-					9970	
AU PRIORIT	9869 Y APP		INFO		A1		1998	0522			1998-1 1996-					9971 9961	
				-							1997-					9970	
											1997-1 1997-1					9970 9971	

us 1997-816120 A2 19970311
W0 1997-US19483 W 19971027
Combinatorial libraries comprising pyrimidopyrimidinediones,
2.4-pteridinediones, pyrimidopyridazinediones, and azolopyrimidinediones substituted at the 3 position by substituted alkyl chains are claimed. A library of quinazoline-2,4-diones was prepd; several inhibited B-lactamase with ICSO = 1.3-183 µM.
207346-51-8 207346-61-0 207346-63-0
207346-52-2 207346-61-0 207346-63-2
207346-65-4 207346-66-5 207346-67-6
207346-67-7 207346-69-8 207346-67-12
RL: RAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of fused 2.4-myrimidiandian activity.

(Uses)
(preparation of fused 2,4-pyrimidinedione combinatorial libraries having antimicrobial and β-lactamase activity)
207346-518 HCAPLUS
3(2H)-Quinazolineacetic acid, 1-{[1,1'-biphenyl]-4-ylmethyl)-6-chloro-1,4-dihydro-2,4-dioxo-α-(phenylmethyl)-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 6 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

207346-52-9 HCAPLUS 3(2H)-Quinazolineacetic acid, 1-([1,1'-biphenyl]-4-ylmethyl)-6-chloro-1,4-dihydro- α -(2-methylpropyl)-2,4-dioxo-, (α S)- (9CI) (CA INDEX NAME)

207346-53-0 HCAPLUS 3(2H)-Quinazolineacetic acid, 1-([1,1'-biphenyl]-4-ylmethyl)-1,4-dihydro-5-nitro-2,4-dioxo- α -(phenylmethyl)-, (α S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 6 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

207346-63-2 HCAPLUS 3(2H)-Quinazolineacetic acid, $1-([1,1'-bipheny1]-4-ylmethy1)-1,4-dihydro-7-(methoxycarbony1)-2,4-dioxo-<math>\alpha$ -(phenylmethy1)-, (aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

207346-65-4 HCAPLUS 3(2H)-Quinazolineacetic acid, 6-chloro-1,4-dihydro-2,4-dioxo-1-[[4-(phenylmethoxy)phenyl]methyl]- α -(phenylmethyl)-, (α S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 6 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

207346-55-2 HCAPLUS 3(2H)-Quinazolineacetic acid, 1-([1,1'-biphenyl]-4-ylmethyl)-6-chloro-a-(cyclohexylmethyl)-1,4-dihydro-2,4-dioxo-, (α S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

207346-61-0 HCAPLUS 3(2H)-Quinazolineacetic acid, 1,4-dihydro-5-nitro-2,4-dioxo-1-[{4-(phenylmethoxy) phenyl]methyl]-a-(phenylmethyl)-, (aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 6 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued) 207346-66-5 HCAPLUS 3(2H)-Quinazolineacetic acid, 1-[(9,10-dihydro-9,10-dioxo-2-anthracenyl)methyl]-1,4-dihydro-5-nitro-2,4-dioxo-α-(phenylmethyl)-(eS)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

207346-67-6 HCAPLUS 3(2H)-Quinazolineactic acid, 1-([1,1'-biphenyl]-4-ylmethyl)-6-chloro-1,4-dhydro-enethyl-2,4-dioxo-, (a5)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

207346-68-7 HCAPLUS 3(2H)-Quinazolineacetic acid, 6-chloro-1-[(9,10-dihydro-9,10-dioxo-2-anthracenyl)methyl)-1,4-dihydro-2,4-dioxo-a-(phenylmethyl)-,(\alphaS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 6 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

207346-69-8 HCAPLUS 3(2H)-Quinazolineacetic acid, 1-([1,1'-biphenyl]-4-ylmethyl)-7-fluoro-1,4-dhydro-2,4-dioxo-a-(phenylmethyl)-, (aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

207346-71-2 HCAPLUS 3(2H)-Quinazolineacetic acid, 1-([1,1'-bipheny1]-4-ylmethy1)-1,4-dihydro-7-(4-methy1-1-piperaziny1)-2,4-dioxo-s-(phenylmethy1)-, (aS)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CRN 207346-70-1 CMF C35 H34 N4 O4

Absolute stereochemistry.

ANSWER 6 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued) 188789-65-3 HCAPLUS 3(2H)-Quinazolineacetic acid, 1-(2-amino-2-oxoethyl)-1,4-dihydro-2,4-dioxo-a-(phenylmethyl)-, (aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

207346-35-8 HCAPLUS 3(2H)-Quinazolineacetic acid, 1,4-dihydro-2,4-dioxo- α ,1-bis(phenylmethyl)-, (α S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

207346-37-0 HCAPLUS 3(2H)-Quinazolineacetic acid, 1,4-dihydro-1-(2-naphthalenylmethyl)-2,4-dioxo-α-(phenylmethyl)-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 207346-39-2 HCAPLUS

L4 ANSWER 6 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

CM 2

CRN 76-05-1 CMF C2 H F3 O2

IT

188789-64-2P 188789-65-3P 207346-35-8P
207346-37-0P 207346-39-2P
RL: SPN (Synthetic preparation); PREF (Preparation)
(preparation of fused 2,4-pyrimiding combinatorial libraries having antimicrobial and β-lactamase activity)
188789-64-2 HCAPLUS
3(2B)-Quinazolineacetic acid, 1,4-dihydro-1-[(4-methoxyphenyl)methyl]-2,4-dioxo-α-(phenylmethyl)-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 6 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued) 3(2H)-Quinazolineacetic acid, 1-[(2-cyanophenyl)methyl]-1,4-dihydro-2,4-dioxo-a-(phenylmethyl)-, (aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 7 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1997:187295 HCAPLUS
DOCUMENT NUMBER: 1262:64072
TITLE: A general and efficient solid phase synthesis of quinasoline-2,4-diones
AUTHOR(S): Gordeev, Mikhail F., Hui, Hon C., Gordon, Eric M., Patel, Dinesh V.
CORPORATE SOURCE: Versicor, Inc., South San Francisco, CA, 94080, USA SOURCE: COOEN: TELERY; ISSN: 0040-4039
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 126:264072
AB An efficient solid phase synthesis of chiral quinazolinediones is described. Immobilized amino acid based urea derivs. undergo a racemization-free heterocyclization upon gentle heating in presence of tetramethylguanddine to afford fused pyrimidine-2,4-diones, which are smoothly N1-alkylated under mild conditions to produce immobilized quinazolinediones. The method is amenable to combinatorial synthesis and offers broad scope for structural and chemical diversity, as illustrated by prepared of a fused thieno[2,3-d]pyrimidine-2,4-dione and a hydroxamate pharmacophore bearing a quinazolinedione derivative
11 188789-64-2P 188789-65-3P 188789-65-4P 188789-67-5P 188789-65-3P 188789-65-7P REST89-65-7P REST89-65-7P REST89-65-7P REST89-65-7P REST89-65-7P REST89-65-7P (Sentence)
NN 188789-64-2 HCAPLUS
CN 3 (2H)-Quinazolineasotic acid, 1,4-d-dihydro-1-[(4-methoxyphenyl)methyl]-2,4-dione-a-(phenylmethyl)-, (ms)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

HCAPLUS

3(2E)-Quinazolineacetic acid, 1-(2-amino-2-oxoethyl)-1,4-dihydro-2,4-dioxo-t-(phenylmethyl)-, (qS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 7 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN lute stereochemistry. (Continued)

188789-69-7 HCAPLUS 3(ZH)-Quinacolineacetic acid, 6-chloro-1,4-dihydro-1-(3-hydroxypropyl)-2,4-dixxo-e-(phenylmethyl)-, (5)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 7 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

188789-66-4 HCAPLUS 1,3(2H,4H)-Quinazolinediacetic acid, 2,4-dioxo-q3-(phenylmethyl)-, (5)- [9C1] (CA INDEX NAME)

188789-67-5 HCAPLUS
3(2H)-Quinazolineacetic acid, 6-chloro-1-(cyclopropylmethyl)-1,4-dihydro2,4-dioxo-α-(phenylmethyl)-, (5)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

188789-68-6 HCAPLUS

3(2H)-Quinazolineacetic acid, 6-chloro-1-[(2-cyanophenyl)methyl]-1,4-dihydro-2,4-dioxo-a-(phenylmethyl)-, (5)- (9CI) (CA INDEX NAME)

L4 ANSWER 8 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN ACCESSION NUMBER: 1996:537366 HCAPLUS DOCUMENT NUMBER: 125:195674

Preparation of 2,4-dioxo-1,2,3,4-tetrahydroquinazoline derivatives having blood sugar-lowering and aldose reductase-inhibiting activity Myaoka, Shozor Sato, Hirokor Matsushima, Hiroaki; TITLE:

INVENTOR(S): Sugizaki, Myoshi Terumo Corp, Japan Jpn. Kokai Tokkyo Koho, 33 pp. CODEN: JXXXAF Patent

PATENT ASSIGNEE(S):

DOCUMENT TYPE:

Japanese

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

APPLICATION NO. PATENT NO. KIND DATE DATE JP 08143566
PRIORITY APPLN. INFO.:
OTHER SOURCE(S):
GI 19960604 MARPAT 125:195674

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

TRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

The title compds. [I; R3, R4 = H, halo, lower alkyl, lower alkoxy, haloalkyl; R1, R2 = R5-CO2R6, CH2CGH4-A-T, (CH2)m-B-T; wherein R5 = Cl-3 alkylene, R6 = H, Cl-8 alkyl; A = CH2, 1,2-, 1,3-, or 1,4-NISO2CGH4CH2, -CH2CH2CGH4CH2, or -CH:CH2CGH4CH2; T = heterocyclyl having weakly acidic H; m = 1-7; B = NISO2-CGH4CH2, which are useful for the treatment of diabetes complications such as cataract, retinopathy, or nerve or kidney disorders, are prepared Thus, Et 2,4-dixon-2H-3,1-benzoxazine-1(4H)-acetate, 4-nitrobenzyl maine hydrochloride, and Et3N were suspended in toluene and stirred at 100° for 2.5 h to give Et [2-[N-(4-nitrobenzyl) carbamoyl) phenylamino] acetate, which was cyclocondensed with 1,1'-carbonyldiamidazole at 130° for 2 h to I (R1 - 4-nitrobenzyl, R2 - CH2COZEt, R3 = M = H), of 2 h to I (R1 - 4-nitrobenzyl, R2 - CH2COZET, R3 = N4 = H). The latter compound was cyclocondensed with thiourea in the presence of Cu2o at 30° to give I (R1 - Q, R2 - CH2COZEt, R3 = R4 = H). The latter compound was cyclocondensed with thiourea in the presence of AcoNa in ethanol under reflux for 6 h to I (R1 - Q1, wherein Z = NR, R2 = CH2COZET, R3 = R4 = H) and I (R1 - Q1, wherein Z = 0, R2 = CH2COZET, R3 = R4 = H) and I (R1 - Q1, wherein Z = 0, R2 = CH2COZET, R3 = R4 = H) and I (R1 - Q1, R3 = R4 - H) an

RN 180632-13-7 HCAPLUS
CN 3(2H)-Quinazolineacetic acid, 1-[[3-[(2,4-dioxo-5-thiazolidinyl)methyl]phenyl]methyl]-1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)

N 180632-19-3 HCAPLUS
N 3(2H)-Quinazolineacetic acid, 1-[(4-[[4-(2,4-dioxo-5-thiazolidinyl)methyl]phenyl]sulfonyl]amino]phenyl]methyl]-1,4-dihydro-2,4-dioxo-(9CI) (CA INDEX NAME)

L4 ANSWER 8 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

IT 180632-52-4P 180632-53-5P 180632-54-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of dioxotetrahydroquinazoline derive. having blood sugar-lowering and aldose reductase-inhibiting activity for treating diabetes complications)
RN 180632-52-4 HCAPLUS
CN 3[2E]-Quinazolineacetic acid, 1,4-dihydro-1-{(3-nitrophenyl)methyl]-2,4-dioxo- (9CI) (CA INDEX NAME)

RN 180632-53-5 HCAPLUS
CN 3(2H)-Quinazolineactic acid, 1-[(3-aminophenyl)methyl]-1,4-dihydro-2,4-dioxo-(9C1) (CA INDEX NAME)

L4 ANSWER 8 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

PAGE 1-A

PAGE 2-A

RN 180632-21-7 HCAPLUS
3 (2H)-Quinazolineacetic acid, 1-[[3-[[4-[(2,4-dioxo-5-thiazolidinyl)methyl]phenyl]sulfonyl]amino]phenyl]methyl]-1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)

L4 ANSWER 8 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 180632-54-6 HCAPLUS
CN 3(2H)-Quinazolineacetic acid, 1-[[3-(2-bromo-3-ethoxy-3-oxopropyl)phenyl]methyl]-1,4-dihydro-2,4-dioxo-[9CI] (CA INDEX NAME)

L4 ANSWER 9 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN ACCESSION NUMBER: 1995:358755 HCAPLUS DOCUMENT NUMBER: 122:133211

1995:358755 HCAPLUS
122:133211
Preparation of quinazoline, quinoline, and benzoxazine derivatives as ACAT inhibitors
Natsukari, Hideaki, Sugyama, Yasuo; Morimoto, Shinji
Takeda Chemical Industries Ltd, Japan
Jpn. Kokai Tokkyo Koho, 26 pp.
CODEN: JKOXAF
Patent
Japanese
1

INVENTOR (S):
PATENT ASSIGNEE (S):
SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

APPLICATION NO. PATENT NO. KIND DATE DATE JP 06263736 A2 19940920 JP 1994-2273 19940114
JP 3524133 B2 20040510
PRIORITY APPLM. INFO.: JP 1993-5390 A 19930114
OTHER SOURCE(S): MARPAT 122:133211
GI For diagram(s), see printed CA Issue.
AB The title compds. I [ring A = (un) substituted benzene ring; ring B = (un) substituted aromatic ring; W = CO, CS, etc.; Y = CH, N; or WY = C:CH; X

(un) substituted aromatic rings W = CO, CS, etc.; Y = CH, N; or WY = CtCH; N; CH2, O, etc.; Z = NH, CH2, etc.; dotted line indicates single bond or double bond; provisos are given; R = H, etc.], useful as ACAT (acyl-Co-A:cholesterol acyltransferase) inhibitors, are prepared 6-Chloro-H-(2,6-diethoxyphenyl)-1,4-dihydro-2-oxo-1-phenylmethyl-3(2H)-quinazolineacetamide in vitro at 10-6 M gave 98.31 inhibition of ACAT. The inhibiting activities of 22 compds. of this invention against ACAT are given in a table of this document. 160974-66-3
RL: RCT (Reactant): RACT (Reactant or reagent) (preparation of quinazoline, quinoline, and benzoxazine derivs. as ACAT inhibitors) HCAPLUS 3(2H)-quinazolineacetic acid, 7-chloro-1,4-dihydro-2,4-dioxo-1-(phenylmethyl) - (9CI) (CA INDEX NAME)

ANSWER 10 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued) contg. Et3N and cyclocondensation of the resulting 2,5- (H2N) C1CGH3CONHCH2CO2Et with N,N'-carbonyldiimidazole in diowane at 150' gave Et 6-chloro-1,4-dihydro-2,4-dioxo-3(2H)- quinazolineacetate which was alkylated with 2-C1CGH4CH2C1 in the presence of NaH in DMF at 70' to give Et 6-chloro-1-(4-chlorophenyl)methyl)- 1,4-dihydro-2,4-dioxo-3(2H)-quinazolineacetate. A total of 196 I were prepd. and in vitro inhibited aldose reductase with 1C50 of 10-7 to 10-8 M and arachidonic acid-induced rabbit's platelet aggregation with IC50 of 10-5 - 10-7 M.

1,4-dinydro-2,4-dioxo-3/12) -duinazoineacettae. A tobia in 190 1 190 preped, and in vitro inhibited aldose reductase with IC50 of 10-7 - 10-8 M and arachidonic acid-induced rabbit's platelet aggregation with IC50 of 10-5 - 10-7 M.
133166-46-8P 133166-49-0P 133166-57-1P
133166-58-2P 133166-59-3P 133166-60-6P
133166-58-2P 133166-59-3P 133166-60-6P
133166-58-2P 133166-99-3P 133167-81-8P
136147-87-0P 136147-84-PP 136147-81-8P
136147-87-0P 136147-91-8-1P 136147-89-2P
136147-90-3P 136147-91-5P 136147-93-8P
136147-90-3P 136147-91-5P 136147-93-8P
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136148-16-8P 136148-17-9P 136148-11-5P
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136148-22-6P 136148-22-4P 136148-21-5P
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136148-40-9P 136148-41-9P 136148-33-9P
136148-40-9P 136148-50-0P 136148-33-9P
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136148-40-9P 136148-40-9P 136148-30-9P
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136148-40-9P 136148

133166-48-0 HCAPLUS
3(2H)-Quinazolineacetic acid, 1-{(4-bromo-2-fluorophenyl)methyl}-7-chloro-1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)

L4 ANSWER 10 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN ACCESSION NUMBER: 1991:559174 HCAPLUS DOCUMENT NUMBER: 115:159174

DOCUMENT NUMBER TITLE:

115:159174
Preparation of quinazoline-3-alkanoates as platelet aggregation and aldose reductase inhibitors Fujimori, Shizuyoshin Ohnota, Michiror Hirata, Yoshihiror Murakami, Koji Kyorin Pharmaceutical Co., Ltd., Japan PCT Int. Appl., 54 pp.
CODEN: PIXXD2
Patent INVENTOR(S):

PATENT ASSIGNEE (S):

DOCUMENT TYPE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

	PA1	ENT	NO.			KINI	,	DATE			APE	LICATION 1	10.		DATE
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1	WO	9109	024			A1		1991	0627		WO	1990-JP16	00		19901210
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	EP											677			
			BE,									, SE			
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	HU	2079	199			В		1993	0728						
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	us	5234	928			A		1993	0810		US	1991-7216	10		19910717
PRIOR	IT:	APE	LN.	INFO	. :						JΡ	1989-3210	97	А	19891211
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The title compds. [I: R = H, carboxy-protective group: Rl = alkyl, alkenyl, alkony, alkylthio, halo, (substituted) Ph, heterocyclyl, or benzoyl, naphthyl, cycloalkyl; R2, R3 = H, halo, alkyl, alkoxy, (substituted) aralkyl, NO2, imidazolyl, imidazolylmethyl, NR4R5; R4, R5 = H, alkyl; or NR4R5 = 5 - or 6-membered heterocyclyl optionally containing AΒ other

heteroatom(s), X = CO, C(S), (alkyl-substituted) CH2; A = alkylene, alkeylene; n = 1-3), useful for treatment of thrombosis, heart diseases, or diabetes complications, are prepared Thus, condensation of HZNCH2COZET.HCl with 6-chloro-ZH-3,1-benzoxazine-2,4(IH)-dione in dioxane

ANSWER 10 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

133166-49-1 HCAPLUS
3(2H)-Quinazolineacetic acid, 1-[(4-bromo-2-fluorophenyl)methyl]-6-chloro1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)

133166-50-4 HCAPLUS
3(2H)-Quinazolineacetic acid, 1-[(4-bromo-2-fluorophenyl)methyl]-5-chloro1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)

ANSWER 10 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued) 133166-53-7 HCAPLUS 31(2H)-Quinazolineacetic acid, 1-((4-bromo-2-fluorophenyl)methyl)-1,4-dihydro-2,4-dioxo-(9CI) (CA INDEX NAME)

133166-57-1 HCAPLUS
3(2H)-Quinazolineacetic acid, 6-bromo-1-[(3,4-dichlorophenyl)methyl]-1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)

133166-58-2 HCAPLUS 3(2H)-Quinazolineacetic acid, 6-chloro-1-[(3,4-dichlorophenyl)methyl]-1,4-dihydro-2,4-dioxo-(9CI) (CA INDEX NAME)

L4 ANSWER 10 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

133166-64-0 HCAPLUS
3(2H)-Quinazolineacetic acid, 1-[(4-bromo-2-fluorophenyl)methyl]-1,4-dihydro-6-nitro-2,4-dioxo- (9CI) (CA INDEX NAME)

136147-80-3 HCAPLUS
3(2H)-Quinazolineacetic acid, 6-chloro-1-[(4-fluorophenyl)methyl]-1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)

136147-81-4 HCAPLUS

L4 ANSWER 10 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

133166-59-3 HCAPLUS
3(2H)-Gulnazolineacetic acid, 1-[(3,4-dichlorophenyl)methyl]-1,4-dihydro-6-methoxy-2,4-dioxo-(9CI) (CA INDEX NAME)

133166-60-6 HCAPLUS
3(2H)-Quinazolineacetic acid, 1-[(3,4-dichlorophenyl)methyl]-1,4-dihydro-6-methyl-2,4-dioxo-(9CI) (CA INDEX NAME)

ANSWER 10 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued) 3(2H)-Quinazolineacetic acid, 1-[(4-chlorophenyl)methyl]-1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)

136147-02-5 HCAPLUS
3(2H)-Quinazolineacetic acid, 6-chloro-1-[(2,4-dichlorophenyl)methyl]-1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)

136147-84-7 HCAPLUS
3(2H)-Quinazolineacetic acid, 6-chloro-1-[(3-fluorophenyl)methyl]-1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)

136147-85-8 HCAPLUS
3(2H)-Quinazolineacetic acid, 6-chloro-1-[(2-chlorophenyl)methyl]-1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)

136147-87-0 HCAPLUS
3(2H)-Quinazolineacetic acid, 6-chloro-1-[(3-chlorophenyl)methyl]-1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)

136147-88-1 HCAPLUS
3(2H)-Quinazolineacetic acid, 1-[(4-bromophenyl)methyl]-6-chloro-1,4-dhydro-2,4-dioxo-(9CI) (CA INDEX NAME)

ANSWER 10 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

136147-91-6 HCAPLUS
3(2H)-Quinazolineacetic acid, 6-chloro-1,4-dihydro-1-(1-naphthalenylmethyl)-2,4-dioxo- (9CI) (CA INDEX NAME)

136147-93-8 HCAPLUS
3(2H)-Quinazolineacetic acid, 6-chloro-1,4-dihydro-1-[(4-nitrophenyl)methyl]-2,4-dioxo- (9CI) (CA INDEX NAME)

136147-94-9 HCAPLUS 3(2H)-Quinazolineacetic acid, 6-chloro-1-[(2,6-dichlorophenyl)methyl]-1,4-dhydro-2,4-dioxo-(9CI) (CA INDEX NAME)

L4 ANSWER 10 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN

(Continued)

136147-89-2 HCAPLUS
3(2H)-Quinazolineacetic acid, 6-chloro-1,4-dihydro-1-{(4-methoxyphenyl)methyl]-2,4-dioxo- (9CI) (CA INDEX NAME) RN CN

136147-90-5 HCAPLUS
3(2H)-Quinazolineacetic acid, 1-[(2,4-dichlorophenyl)methyl]-1,4-dihydro-6-methoxy-2,4-dioxo-(9CI) (CA INDEX NAME)

L4 ANSWER 10 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

136147-95-0 HCAPLUS
3(2H)-Quinazolineacetic acid, 1-[(2,4-dichlorophenyl)methyl]-1,4-dihydro-6-methyl-2,4-dioxo-(9C1) (CA INDEX NAME)

136147-96-1 HCAPLUS
3(2H)-Quinazolineacetic acid, 1-[(2,4-dichlorophenyl)methyl]-1,4-dihydro2,4-dioxo- (9CI) (CA INDEX NAME)

136147-97-2 HCAPLUS
3(2H)-Quinazolineacetic acid, 1-[(2,4-dichlorophenyl)methyl]-6-fluoro-1,4-

ANSWER 10 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN dihydro-2,4-dioxo- (9CI) (CA INDEX NAME) (Continued)

136147-98-3 HCAPLUS
3(2H)-Quinazolineacetic acid, 1-[(3,4-dichlorophenyl)methyl]-6-fluoro-1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)

136147-99-4 HCAPLUS
3(2H)-Quinazolineacetic acid, 6-chloro-1,4-dihydro-2,4-dioxo-1-(phenylmethyl)- (9CI) (CA INDEX NAME)

136148-00-0 HCAPLUS

ANSWER 10 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN dihydro-2,4-dioxo- (9CI) (CA INDEX NAME) (Continued)

136148-04-4 HCAPLUS
3(ZH)-Quinazolineacetic acid, 1-[(2,4-difluorophenyl)methyl]-6-fluoro-1,4-dhydro-2,4-dioxo-(9CI) (CA INDEX NAME)

136148-05-5 HCAPLUS
3(2H)-Quinazolineacetic acid, 7-chloro-1-[(2,4-dichlorophenyl)methyl]-1,4-dihydro-2,4-dioxo-(9CI) (CA INDEX NAME)

ANSWER 10 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued) 3(2H)-Quinazolineacetic acid, 6-chloro-1,4-dihydro-2,4-dioxo-1-(2-phenylethyl)- (9CI) (CA INDEX NAME)

136148-01-1 HCAPLUS
3(ZH)-Quinazolineacetic acid, 6-chloro-1-(cyclohexylmethyl)-1,4-dihydro-2,4-dioxo-(9CI) (CA INDEX NAME)

136148-02-2 HCAPLUS 3(2H)-Quinazolineacetic acid, 1-[(3,4-dichlorophenyl)methyl]-1,4-dihydro-2,4-dioxo-(9CI) (CA INDEX NAME)

136148-03-3 HCAPLUS 3(2H)-Quinazolineacetic acid, 6-chloro-1-[(2,4-difluorophenyl)methyl]-1,4-

ANSWER 10 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

136148-06-6 HCAPLUS 3(2H)-Quinazolineacetic acid, 1-[(2,4-dichlorophenyl)methyl]-1,4-dihydro-6,7-dimethowy-2,4-dioxo-(9C1) (CA INDEX NAME)

136148-07-7 HCAPLUS
3(2H)-Quinazolineacetic acid, 6-chloro-1,4-dihydro-2,4-dioxo-1-{{4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

136148-08-8 HCAPLUS
3(2H)-Quinazolineacetic acid, 6-chloro-1-[(3,4-difluorophenyl)methyl]-1,4-dihydro-2,4-dioxo-(9CI) (CA INDEX NAME)

L4 ANSWER 10 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 136148-09-9 HCAPLUS
CN 3(2H)-Quinazolineacetic acid, 7-chloro-1-[(3,4-dichlorophenyl)methyl]-1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)

RN 136148-10-2 HCAPLUS
CN 3(2H)-Quinazolineacetic acid, 6-chloro-1,4-dihydro-2,4-dioxo-1-[[3-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 10 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 136148-13-5 HCAPLUS
SO 3(2H)-Quinazolineacetic acid, 1,4-dihydro-2,4-dioxo-1-(3-phenyl-2-propenyl)- (9C1) (CA INDEX NAME)

RN 136148-15-7 HCAPLUS
CN 3(2H)-Quinazolineacetic acid, 1-[(3,4-dichlorophenyl)methyl]-1,4-dihydro6,7-dimethoxy-2,4-dioxo- (9CI) (CA INDEX NAME)

RN 13614B-16-8 HCAPLUS
CN 3(2H)-Quinazolineacetic acid, 6-bromo-1-[(2,4-dichlorophenyl)methyl]-1,4-dihydro-2,4-dioxo-(9CI) (CA INDEX NAME)

L4 ANSWER 10 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 136148-11-3 HCAPLUS
CN 3(2H)-Quinazolineacetic acid, 6-chloro-1,4-dihydro-1-[(4-methylphenyl)methyl)-2,4-dioxo- (9CI) (CA INDEX NAME)

RN 136148-12-4 HCAPLUS
CN 3(2H)-Quinazolineacetic acid, 1-[2-(4-chlorophenyl)-2-oxoethyl]-1,4dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)

L4 ANSWER 10 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 136148-17-9 HCAPLUS
CN 3(2H)-Quinazolineacetic acid, 1-[(3,4-difluorophenyl)methyl]-1,4-dihydro-6-methyl-2,4-dioxo- (9CI) (CA INDEX NAME)

RN 136148-18-0 HCAPLUS
CN 3(ZH)-Quinazolineacetic acid, 1-[(3,4-difluorophenyl)methyl]-1,4-dihydro2,4-dioxo- (9CI) (CA INDEX NAME)

RN 136148-19-1 HCAPLUS CN 3(2H)-Quinazolineacetic acid, 6-chloro-1,4-dihydro-2,4-dioxo-1-(3-phenyl-2-

L4 ANSWER 10 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued) propenyl)- (9CI) (CA INDEX NAME)

RN 136148-20-4 HCAPLUS
CN 3(2H)-Quinazolineacetic acid, 6-chloro-1-{(3,4-dimethylphenyl)methyl}-1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)

RN 136148-21-5 HCAPLUS
CN 3(2H)-Quinazolineacetic acid, 1-[(3,4-difluorophenyl)methyl]-6-fluoro-1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)

RN 136148-22-6 HCAPLUS

L4 ANSWER 10 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 136148-25-9 HCAPLUS
CN 3(2H)-Quinazolineacetic acid, 6-chloro-1,4-dihydro-2,4-dioxo-1-[(3,4,5-trimethoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

RN 136148-27-1 HCAPLUS
CN 3(2H)-Quinazolineacetic acid, 1-[(3,4-dimethoxyphenyl)methyl]-1,4-dihydro-6,7-dimethoxy-2,4-dioxo-(9CI) (CA INDEX NAME)

RN 136148-29-3 HCAPLUS

L4 ANSWER 10 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN 3(2H)-Quinazolineacetic acid, 5-chloro-1-[(3,4-dichlorophenyl)methyl]-1,4-dichlorophenyl)methyl]-1,4-dichlorophenyl)methyl]-1,4-dichlorophenyl)methyl]-1,4-dichlorophenyl)methyl]-1,4-dichlorophenyl)methyll-1,4-dichlorophenyl

RN 136148-23-7 HCAPLUS

N 3(2H)-Quinazolineacetic acid, S-chloro-1-[(3,4-diffluorophenyl)methyl]-1,4-dihydro-2,4-dioxo-(9CI) (CA INDEX NAME)

RN 136148-24-8 HCAPLUS
CN 3(ZH)-Quinzolineacetic acid, 6-chloro-1-[(3,4-dimethoxyphenyl)methyl]-1,4-dimydro-2,4-dixox-(9CI) (CA INDEX NAME)

L4 ANSWER 10 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN 3(2H)-Quinazolineacetic acid, 1-[(3,4-dichlorophenyl)methyl]-6-ethyl-1,4-dihydro-2,4-dioxo-(9CI) (CA INDEX NAME)

RN 136148-31-7 HCAPLUS
CN 3(2H)-Quinazolineacetic acid, 1-[(4-bromo-2-fluorophenyl)methyl]-6-ethyl1,4-dibydro-2,4-dioxo- (9CT) (CA INDEX NAME)

RN 136148-32-8 HCAPLUS
CN 3(2H)-Quinazolineacetic acid, 1-{(4-bromo-2-fluorophenyl)methyl]-1,4-dihydro-6,7-dimethoxy-2,4-dioxo- (9CI) (CA INDEX NAME)

L4 ANSWER 10 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 136148-33-9 HCAPLUS
CN 3(2H)-Quinazolineacetic acid, 1-[(4-bromo-2-fluorophenyl)methyl]-6-fluoro1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)

RN 136148-34-0 HCAPLUS
CN 3(2H)-Quinazolineacetic acid, 1-[(4-bromo-2-fluorophenyl)methyl]-1,4-dihydro-6-methyl-2,4-dioxo- (9CI) (CA INDEX NAME)

L4 ANSWER 10 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 136148-40-8 HCAPLUS
CN 3(2H)-Quinazolineacetic acid, 1-[(4-bromo-2-fluorophenyl)methyl]-1,4-dihydro-2,4-dioxo-6-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)

RN 136148-41-9 HCAPLUS
CN 3(2H)-Quinazolineacetic acid, 1-[(4-bromo-2-fluorophenyl)methyl]-6(dimethylamino)-1,4-dihydro-2,4-dioxo-(9CI) (CA INDEX NAME)

RN 136148-42-0 HCAPLUS

L4 ANSWER 10 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 136148-37-3 HCAPLUS

3(2H)-Quinazolineacetic acid, 6-[(2,4-dichlorophenyl)methoxy]-1-[(2,4-dichlorophenyl)methoxy]-1,4-dinydro-2,4-dioxo-(9CI) (CA INDEX NAME)

FN 136148-38-4 HCAPLUS 3(2H)-Quinazolineacetic acid, 1-[(3,4-dichlorophenyl)methyl]-6-(dimethylamino)-1,4-dihydro-2,4-dioxo-(9CI) (CA INDEX NAME)

L4 ANSWER 10 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)
CN 3(2H)-Quinazolineacetic acid, 6-chlorol-1-((4-chlorophenyl)methyl)-1,4dihydro-2,4-dioxo-(9CI) (CA INDEX NAME)

RN 136148-43-1 HCAPLUS CN 3(ZH)-Gunarolineacetic acid, 1-[(4-bromo-2-fluorophenyl)methyl]-1,4dihydro-6-methoxy-2,4-dioxo- (9CI) (CA INDEX NAME)

N 136148-44-2 HCAPLUS
N 3(2H)-Quinazolineacetic acid, 1-[(3,4-dichlorophenyl)methyl]-1,4-dihydro2,4-dioxo-6-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 10 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 136148-45-3 HCAPLUS
CN 3(2H)-Quinazolineacetic acid, 1-[(3,4-dichlorophenyl)methyl]-1,4-dihydro-6-(methylthio)-2,4-dioxo- (9CI) (CA INDEX NAME)

RN 136148-49-7 HCAPLUS
CN 3(2H)-Quinazolineacetic acid, 6,8-dichloro-1-[(3,4-dichlorophenyl)methyl]1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)

L4 ANSWER 10 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 136148-54-4 ECAPLUS
3 (2H)-Quinazolinepropanoic acid, 1-[(2,4-dichlorophenyl)methyl]-1,4-dihydro-2,4-dioxo-(9CI) (CA INDEX NAME)

RN 136148-55-5 HCAPLUS
CN 3(2H)-Quinazolinebutanoic acid, 1-[(2,4-dichlorophenyl)methyl]-1,4-dihydro2,4-dioxo- (9CI) (CA INDEX NAME)

RN 136148-69-1 HCAPLUS

L4 ANSWER 10 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 136148-50-0 HCAPLUS CN 3(2H)-Quinazolineacetic acid, 6-chloro-1,4-dihydro-1-[{4-(2-hydroxyethenyl)phenyl]methyl]-2,4-dioxo- (9CI) (CA INDEX NAME)

RN 136149-53-3 HCAPLUS
CN 3(2H)-Quinazolinepropanoic acid, 1-[(4-chlorophenyl)methyl]-1,4-dihydro2,4-dioxo- (9CI) (CA INDEX NAME)

L4 ANSWER 10 OF 14 HCAPLUS COPYRIGHT 2006 ACs on STN (Continued)
CN 3(2H)-Quinazolineacetic acid, 1-(3,4-dichlorophenyl)methyl)-1,4-dihydro-6([H-imidazol-1-y]methyl)-2,4-dixxo-(9C1) (CA INDEX NAME)

RN 136148-74-8 HCAPLUS 3 (3/H)-(unazolineacetic acid, 1-[(2,4-dichlorophenyl)methyl]-6-fluoro-1,4-dihydro-7-(HI-midazol-1-yl)-2,4-dioxo-(9C1) (CA INDEX NAME)

RN 136148-75-9 HCAPLUS
CN 3(2H)-Quinazolineacetic acid, 6-fluoro-1,4-dihydro-7-(1H-imidazol-1-yl)2,4-dioxo-1-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 10 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

136148-76-0 HCAPLUS
3(2H)-Quinazolineacetic acid, 1-[(3,4-dichlorophenyl)methyl]-6-fluoro-1,4-dhydro-7-(HH-imidazol-1-yl)-2,4-dioxo- (9CT) (CA INDEX NAME)

ANSWER 11 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

133166-48-0 HCAPLUS
3(2H)-Quinazolineacetic acid, 1-[(4-bromo-2-fluorophenyl)methyl]-7-chloro-1,4-dihydro-2,4-dioxo-(9CI) (CA INDEX NAME)

133166-49-1 HCAPLUS 3/2H)-Quinazolineacetic acid, 1-[(4-bromo-2-fluorophenyl)methyl]-6-chloro-1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)

133166-50-4 HCAPLUS

L4 ANSWER 11 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN ACCESSION NUMBER: 1991:247224 HCAPLUS DOCUMENT NUMBER: 114:247224

DOCUMENT NUMBER: TITLE: Ouinazolineacetic acids and related analogs as aldose

Quinazolineaceic acids and related analogs as allogs reductase inhibitors Halamas, Michael S.; Millen, Jane Wyeth-Ayerst Res., Princeton. NJ, 08543-8000, USA Journal of Medicinal Chemistry (1991), 34(4), 1492-503 CODEN; J CORPORATE SOURCE: SOURCE:

DOCUMENT TYPE: LANGUAGE:

AUTHOR (S):

A variety of 2,4-dioxoquinazolineacetic acids (e.g., I) were synthesized as hybrids of the known aldose reductase inhibitors alrestatin, ICI-105,552, and ICI-128,496 and evaluated for their ability to inhibit partially purified bowine lens aldose reductase (in vitro) and their effectiveness to decrease galactitol accumulation in the 4-day galactosamic rat model (in vivo). In support of SAR studies, related analogs pyrimidinediones, dihydroquinazolones, and indazolidiones were synthesized and tested in the in vitro and in vivo assays. All prepared compds. have shown a high level of in vitro activity (IC50. apprx.10-6 to 4 + 10-8 M). However, only the 2,4-quinazolinedione analog, with similar N-aralkyl substitution exhibited good oral potency. The remaining compds. were either inactive or had only a marginal in vivo activity. The structure-activity data support the presence of a secondary hydrophobic pocket in the vicinity of the primary lipophilic region of the enzyme. 133166-6-8P 133166-80-0P 133166-49-1P 133166-50-0P 133166-50-0P 133166-50-0P 133166-50-0P 133166-50-0P 133166-50-0P 133166-50-0P 133166-50-0P 133166-60-0P 133166-60-0

ANSWER 11 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued) 3(2H)-Quinazolineacetic acid, 1-[(4-bromo-2-fluorophenyl)methyl]-5-chloro-1,4-dibydro-2,4-dioxo-(SCI) (CA INDEX NAME)

133166-53-7 HCAPLUS
3(2H)-Quinazolineacetic acid, 1-[(4-bromo-2-fluorophenyl)methyl]-1,4-dibydro-2,4-d

133166-54-8 HCAPLUS
3(2H)-Quinazolineacetic acid, 1-[(4-bromo-2-fluorophenyl)methyl]-8-fluoro1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)

L4 ANSWER 11 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

133166-55-9 HCAPLUS 3(2H)-Quinazolineacetic acid, 1-[(4-bromo-2-fluorophenyl)methyl]-1,4-dihydro-6-iodo-2,4-dioxo- (9CI) (CA INDEX NAME)

133166-56-0 HCAPLUS
3(2H)-Quinazolineacetic acid, 6-bromo-1,4-dihydro-2,4-dioxo-1-(1-phenylethyl)- (9CI) (CA INDEX NAME)

RN 133166-57-1 HCAPLUS

ANSWER 11 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

133166-60-6 HCAPLUS
3(2H)-Quinazolineacetic acid, 1-[(3,4-dichlorophenyl)methyl]-1,4-dihydro-6-methyl-2,4-dioxo-(9CI) (CA INDEX NAME)

133166-61-7 HCAPLUS
3(2H)-Quinazolineacetic acid, 6-bromo-1-{(3,4-difluorophenyl)methyl]-1,4-dihydro-2,4-dibxo-(9CI) (CA INDEX NAME)

RN 133166-64-0 HCAPLUS

ANSWER 11 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued) 3(2H)-Quinazolineacetic acid, 6-bromo-1-[(3,4-dichlorophenyl)methyl]-1,4-dichlorophenyl)methyl]-1,4-dichlorophenyl

133166-58-2 HCAPLUS 3(2H)-Quinazolineacetic acid, 6-chloro-1-[(3,4-dichlorophenyl)methyl]-1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)

133166-59-3 HCAPLUS
3(2H)-Quinazolineacetic acid, 1-[(3,4-dichlorophenyl)methyl]-1,4-dihydro-6-methoxy-2,4-dioxo-(9CI) (CA INDEX NAME)

ANSWER 11 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued) 3(2H)-Quinazolineacetic acid, 1-[(4-bromo-2-fluorophenyl)methyl]-1,4-dihydro-6-ntro-2,4-dioxo-[OCI] (CA INDEX NAME)

133166-65-1 HCAPLUS
3(2H)-Quinazolineacetic acid, 1-[(4-bromo-2-fluorophenyl)methyl]-7-fluoro-1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)

133166-67-3 HCAPLUS
3(2H)-Quinazolineacetic acid, 6-bromo-1-[(3-bromo-4-methoxyphenyl)methyl]1,4-dihydro-2,4-dioxo- (9CI) (CA INDEX NAME)

L4 ANSWER 11 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

CH2-CO2H

133166-68-4 HCAPLUS 3(2H)-Quinazolineacetic acid, 6-bromo-1,4-dihydro-2,4-dioxo-1-(2-phenylethyl)- (9CI) (CA INDEX NAME)

133166-69-5 HCAPLUS
3(2H)-Quinazolineacetic acid, 6-bromo-1,4-dihydro-2,4-dioxo-1-(phenylmethy1)- (9CI) (CA INDEX NAME)

133166-70-8 HCAPLUS
3(2H)-Quinazolineacetic acid, 6-bromo-1,4-dihydro-1-[(4-methoxyphenyl)methyl]-2,4-dioxo-(9CI) (CA INDEX NAME)

(Continued)

ANSWER 11 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN

L4 ANSWER 11 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN

133166-71-9 HCAPLUS
3(2H)-Quinazolineacetic acid, 6-bromo-1,4-dihydro-2,4-dioxo-1-[{4-diryloromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

(Continued)

133166-72-0 HCAPLUS
3(2H)-Quinazolineacetic acid, 6-bromo-1-[(4-bromophenyl)methyl]-1,4-dhydro-2,4-dioxo-(9CI) (CA INDEX NAME)

L4 ANSWER 12 OF 14
ACCESSION NUMBER: 1990:478326 HCAPLUS
DOCUMENT NUMBER: 113:78326 HCAPLUS
111:78326 HCAPLUS
113:78326 HCAPLUS
113:78326

DOCUMENT TYPE: Journal

English CASREACT 113:78326

LANGUAGE: OTHER SOURCE(S): GI

Original ((2,4-dioxo-1,2,3,4-tetrahydro)quinazolin-1-yl) acetic acids and their thioxo derivs. (e.g., I X=0, S; R=H, RI = OH, MeO) were prepared from isatoic anhydride and examined for their ability to inhibit aldose reductase in vitro and in vivo. Nost were active in vitro on rat lens aldose reductase in the 10-7 M range. I (X = O, R = F, RI = BE) was a good inhibitor of galactical accumulation in sciatic nerves in hypergalactosemic rats and prevented cataract formation. 128650-89-59
RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and aldose reductase-inhibiting activity of) 128650-89-5 HCAPUS)
3(ZH)-Quinazolineacetic acid, 1,4-dihydro-2,4-dioxo-1-(phenylmethyl)-(9CI) (CA INDEX NAME)

L4 ANSWER 13 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:
1998:56027 HCAPLUS
108:56027 Universal to the state of the state

DOCUMENT TYPE: LANGUAGE: OTHER SOURCE(S): GI

ANSWER 13 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

ANSWER 13 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN (Continued)

●2 K

112342-57-1 HCAPLUS 1,3(2H.4H)-Quinazolinediacetic acid, 2,4-dioxo-, dipotassium salt (9CI) (CA INDEX NAME)

●2 K

105407-95-2P 112342-48-0P RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of) 105407-95-2 HCAPLUS

3(2H,4H)-Quinazolinediacetic acid, 6-chloro-2,4-dioxo- (9CI) (CA INDEX

112342-48-0 HCAPLUS
1,3(2H,4H)-Quinazolinediacetic acid, 2,4-dioxo- (9CI) (CA INDEX NAME)

L4 ANSWER 14 OF 14
ACCESSION NUMBER:
DOCUMENT NUMBER:
105:226633 HCAPJUS
105:226633
ITITLE:
117LE:
117LE:
12, 3, 4-Tetrahydroquinazoline-2, 4-dioneacetates
Suspace, Manfred: Johne, Siegfried
Akademie der Wissenschaften der DDR, Ger. Dem. Rep.
CODEN: GEXXAS
CODEN: GEXXAS

DOCUMENT TYPE: Patent

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. APPLICATION NO. DATE KIND DATE DD 232702
PRIORITY APPLM. INFO.:
OTHER SOURCE(S):
GI A1 19860205 DD 1982-243374 DD 1982-243374 CASREACT 105:226633

Title compds. I [R = halo, NO2, cyano, alkyl, alkoxy; Rl = H, alkali metal, alkyl; R2 = H, (substituted) alkyl, aryl, heterocycle; n = 0-4), potentially useful in agriculture or medicine (no data), are prepared from isatotic anhydrides II and amines or ureas, or from insatoates. Thus, II (n = 0, Rl = Et) reacted sequentially with Et glycinate and phosgene to give 51% I (n = 0, Rl = Et R2 = CH2CO2Et).

105407-94-1P 105407-95-2P
RL: SFN (Synthetic preparation), PREP (Preparation) (preparation of) 105407-94-1 HCAPLUS
1,3(2H, HI)-Quinazolinediacetic acid, 6-chloro-2,4-dioxo-, dipotassium salt (9CI) (CA INDEX NAME)

CH2-CO2H сн2-со2н

105407-95-2 HCAPLUS
1,3(2H,4H)-Quinazolinediacetic acid, 6-chloro-2,4-dioxo- (9CI) (CA INDEX